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Jan Delaval Reference Librarian Biotechnology & Chemical Library CM1 1E07 – 703-308-4498 jan.delaval@uspto.gov

STRUCTURE FILE UPDATES: 17 JUL 2002 HIGHEST RN 439210-99-8 DICTIONARY FILE UPDATES: 17 JUL 2002 HIGHEST RN 439210-99-8

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d ide can tot 160

L60 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 388083-45-2 REGISTRY

CN 1,3-Benzenedicarboxamide, N'-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-(phenoxyamino)propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)
OTHER NAMES:

CN 12: PN: WO0202506 PAGE: 107 claimed sequence

FS 3D CONCORD

MF C31 H37 F2 N3 O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:96075

L60 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 388083-44-1 REGISTRY

CN Pentanoic.acid, '4-methyl-, 2-[4-(3,5-difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]-1-methylhydrazide (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 11: PN: WO0202506 PAGE: 107 claimed sequence

FS 3D CONCORD

MF C32 H46 F2 N4 O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

$$(n-Pr)_{2}N-C \\ \downarrow \\ \downarrow \\ \downarrow \\ C-NH-CH-CH_2-NH-N-C-CH_2-CH_2-CHMe_2$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:96075

L60 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 388083-43-0 REGISTRY

CN 1,3-Benzenedicarboxamide, N'-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-(2-methyl-2-phenylhydrazino)propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 10: PN: WO0202506 PAGE: 106 claimed sequence

FS 3D CONCORD

MF C32 H40 F2 N4 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:96075

L60 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 261786-33-8 REGISTRY

CN Carbamic acid, [(1S)-2-hydroxy-1-(methoxymethyl)-3-oxo-3[(phenylmethoxy)amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H26 N2 O6

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:237376

L60 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 252873-33-9 REGISTRY

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(1-methylethoxy)amino]-1-

(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C18 H30 N2 O4

SR CF

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:49801

L60 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 252873-22-6 REGISTRY

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C21 H34 N2 O4

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:49801

L60 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 252872-98-3 REGISTRY

CN Carbamic acid, [(1S, 2R)-3-[(1,1-dimethylethoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C19 H32 N2 O4

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:49801

L60 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 252872-89-2 REGISTRY

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C20 H32 N2 O4

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

1: 132:49801 REFERENCE

L60 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2002 ACS

119601-46-6 REGISTRY RN

Carbamic acid, [1-(cyclohexylmethyl)-2-hydroxy-3-(methoxyamino)-3-CN

oxopropyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C16 H30 N2 O5

SR CA

CA, CAPLUS, USPATFULL STN Files: LC

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 110:154889

=> fil hcaold FILE 'HCAOLD' ENTERED AT 08:57:45 ON 18 JUL 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

PRE-1967 CHEMICAL ABSTRACTS FILE WITH HOUR-BASED PRICING FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate

substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 160 L61 0

L61 0 L60

=> fil uspatall
FILE 'USPATFULL' ENTERED AT 08:57:49 ON 18 JUL 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 08:57:49 ON 18 JUL 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 160 L62 6 L60

=> d bib abs hitstr tot

L62 ANSWER 1 OF 6 USPATFULL

AN 2002:92677 USPATFULL

TI Sulfonamide inhibitors of aspartyl protease IN Hale, Michael Robin, Bedford, MA, UNITED STATES

Andrews, Clarence Webster, III, Durham, NC, UNITED STATES

Furfine, Eric Steven, Durham, NC, UNITED STATES Sherrill, Ronald George, Cary, NC, UNITED STATES Spaltenstein, Andrew, Raleigh, NC, UNITED STATES

Lowen, Gregory Thomas, Williamsburg, VA, UNITED STATES

PI US 2002049201 A1 20020425 AI US 2000-731129 A1 20001206

AI US 2000-731129 A1 20001206 (9) RLI Continuation of Ser. No. WO 1999-US13744, filed on 17 Jun 1999, UNKNOWN

PRAI US 1998-90094P 19980619 (60)

DT Utility
FS APPLICATION

LREP FISH & NEAVE, 1251 AVENUE OF THE AMERICAS, 50TH FLOOR, NEW YORK, NY,

10020-1105

CLMN Number of Claims: 24

ECL Exemplary Claim: 1
DRWN No Drawings

LN.CNT 7574

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to a novel class of sulfonamides which are aspartyl protease inhibitors. In one embodiment, this invention relates to a novel class of HIV aspartyl protease inhibitors characterized by specific structural and physicochemical features. This invention also relates to pharmaceutical compositions comprising these compounds. The compounds and pharmaceutical compositions of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compounds of this invention and methods for screening compounds for anti-HIV activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 252873-33-9

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-

hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252873-33-9 USPATFULL

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(1-methylethoxy)amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 252872-89-2P 252872-98-3P 252873-22-6P

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252872-89-2 USPATFULL

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 252872-98-3 USPATFULL

CN Carbamic acid, [(1S,2R)-3-[(1,1-dimethylethoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 252873-22-6 USPATFULL

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 2 OF 6 USPATFULL

AN 2002:27472 USPATFULL

TI Compounds to treat alzheimer's disease

IN Fang, Lawrence Y., Foster City, CA, UNITED STATES John, Varghese, San Francisco, CA, UNITED STATES

PA Elan Pharmaceuticals, Inc. (U.S. corporation)

PI US 2002016320 A1 20020207

AI US 2001-896874 A1 20010629 (9)

PRAI US 2000-215323P 20000630 (60)

DT Utility

FS APPLICATION

LREP MERCHANT & GOULD PC, P.O. BOX 2903, MINNEAPOLIS, MN, 55402-0903

CLMN Number of Claims: 147 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 12236

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is substituted amines of formula (XV) ##STR1##

useful in treating Alzheimer's ease and other similar dise

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 388083-43-0 388083-44-1 388083-45-2

(compds. to treat Alzheimer's disease and other cognitive impairment disorders in relation to inhibition of .beta.-secretase and cleavage of amyloid precursor protein and combination with other agents)

RN 388083-43-0 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-(2-methyl-2-phenylhydrazino)propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 388083-44-1 USPATFULL

CN Pentanoic acid, 4-methyl-, 2-[4-(3,5-difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]-1-methylhydrazide (9CI) (CA INDEX NAME)

RN 388083-45-2 USPATFULL

CN 1,3-Benzenedicarboxamide, N'-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-(phenoxyamino)propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

L62 ANSWER 3 OF 6 USPATFULL

AN 2000:143079 USPATFULL

TI Printer using print cartridge with internal pressure regulator

IN Pawlowski, Jr., Norman E., Corvallis, OR, United States

Hauck, Mark, Corvallis, OR, United States

Barinaga, John A., Corvallis, OR, United States

PA Hewlett-Packard Company, Palo Alto, CA, United States (U.S. corporation)

PI US 6137513 20001024

AI US 1998-90094 19980603 (9)

Continuation-in-part of Ser. No. US 1995-550902, filed on 31 Oct 1995, now patented, Pat. No. US 5872584 which is a continuation-in-part of Ser. No. US 1995-518847, filed on 24 Aug 1995, now patented, Pat. No. US 5736992 which is a continuation-in-part of Ser. No. US 1994-331453, filed on 31 Oct 1994, now patented, Pat. No. US 5583545 And a continuation-in-part of Ser. No. US 1995-429915, filed on 27 Apr 1995, now patented, Pat. No. US 5825387 And a continuation-in-part of Ser. No. US 1995-566821, filed on 4 Dec 1995, now patented, Pat. No. US 5777646

DT Utility

FS Granted

EXNAM Primary Examiner: Le, N.; Assistant Examiner: Vo, Anh T. N.

CLMN Number of Claims: 22 ECL Exemplary Claim: 11

DRWN 69 Drawing Figure(s); 48 Drawing Page(s)

LN.CNT 1718

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB In the preferred embodiment, an inkjet printer includes a replaceable print cartridge which is inserted into a scanning carriage. An ink tube extends from the scanning carriage to a separate ink supply located within the printer. A fluid interconnect on the print cartridge connects to a fluid interconnect on the carriage when the print cartridge is inserted into the carriage to complete the fluid connection between the external ink supply and the print cartridge. In one embodiment, the fluid interconnection is made between the print cartridge and the ink

tube simply by placing the print cartridge into a stall in the scanning carriage. A pressure regulator internal to the print cartridge regulates the flow of ink from the external ink supply to the print cartridge. The external ink supply may be pressurized or non-pressurized.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 252873-33-9

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease) $\frac{1}{2}$

RN 252873-33-9 USPATFULL

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(1-methylethoxy)amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 252872-89-2P 252872-98-3P 252873-22-6P

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252872-89-2 USPATFULL

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 252872-98-3 USPATFULL

CN Carbamic acid, [(1S,2R)-3-[(1,1-dimethylethoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 252873-22-6 USPATFULL

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
L62 ANSWER 4 OF 6 USPATFULL
       91:58919 USPATFULL
ΑN
ΤI
       Nor-statine and nor-cyclostatine polypeptides
IN
       Hoover, Dennis J., Ledyard, CT, United States
       Rosati, Robert L., Stonington, CT, United States
       Wester, Ronald T., Mystic, CT, United States
PΑ
       Pfizer Inc., New York, NY, United States (U.S. corporation)
PΙ
       US 5034376
                               19910723
ΑI
       US 1990-497041
                               19900321 (7)
       Division of Ser. No. US 1988-277614, filed on 29 Nov 1988, now patented,
RLI
       Pat. No. US 4935405 which is a division of Ser. No. US 1987-112976,
       filed on 23 Oct 1987, now patented, Pat. No. US 4814342 which is a
       continuation-in-part of Ser. No. US 1987-68982, filed on 1 Jul 1987, now
       abandoned which is a continuation-in-part of Ser. No. US 1986-925449,
       filed on 31 Oct 1986, now abandoned
DT
       Utility
       Granted
EXNAM
       Primary Examiner: Lee, Lester L.
LREP
       Richardson, Peter C., Lumb, J. Trevor, McManus, James M.
CLMN
       Number of Claims: 10
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 4379
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Polypeptides and derivatives thereof containing nor-statine and
AB
       nor-cyclostatine are useful for inhibiting the angiotensinogen-cleaving
       action of the enzyme renin.
```

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119601-46-6P

(prepn. of, as intermediate for renin-inhibiting antihypertensive) RN 119601-46-6 USPATFULL

Absolute stereochemistry.

```
ANSWER 5 OF 6 USPATFULL
L62
AN
       90:48790 USPATFULL
ΤI
       Nor-statine and nor-cyclostatine polypeptides
IN
       Hoover, Dennis J., Ledyard, CT, United States
       Rosati, Robert L., Stonington, CT, United States
       Wester, Ronald T., Mystic, CT, United States
       Pfizer Inc., New York, NY, United States (U.S. corporation)
PA
       US 4935405
PΙ
                                19900619
ΑI
       US 1988-277614
                                19881129 (7)
DCD
       20060321
RLI
       Division of Ser. No. US 1987-112976, filed on 23 Oct 1987, now patented,
       Pat. No. US 4814342 which is a continuation-in-part of Ser. No. US
       1987-68982, filed on 1 Jul 1987, now abandoned which is a
       continuation-in-part of Ser. No. US 1986-925449, filed on 31 Oct 1986,
       now abandoned
       Utility
DΤ
FS
       Granted
       Primary Examiner: Lee, Lester L.
EXNAM
LREP
       Richardson, Peter C., Lumb, J. Trevor, McManus, James M.
CLMN
       Number of Claims: 12
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 4372
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Polypeptides and derivatives thereof containing nor-statine and
       nor-cyclostatine are useful for inhibiting the angiotensinogen-cleaving
       action of the enzyme renin.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
ΙT
   119601-46-6P
        (prepn. of, as intermediate for renin-inhibiting antihypertensive)
RN
     119601-46-6 USPATFULL
CN
     Carbamic acid, [1-(cyclohexylmethyl)-2-hydroxy-3-(methoxyamino)-3-
```

oxopropyl]-, 1,1-dimethylethyl ester, $[R-(R^*,S^*)]-(9CI)$ (CA INDEX

Absolute stereochemistry.

NAME)

```
L62 ANSWER 6 OF 6 USPATFULL
ΑN
       89:21180 USPATFULL
TΙ
       Nor-statine and nor-cyclostatine polypeptides
IN
       Hoover, Dennis J., Ledyard, CT, United States
       Rosati, Robert L., Stonington, CT, United States
       Wester, Ronald T., Mystic, CT, United States
PΑ
       Pfizer Inc., New York, NY, United States (U.S. corporation)
PΙ
       US 4814342
                                19890321
       US 1987-112976
ΑI
                                19871023 (7)
       Continuation-in-part of Ser. No. US 1987-68982, filed on 1 Jul 1987, now
RLI
       abandoned which is a continuation-in-part of Ser. No. US 1986-925449,
       filed on 31 Oct 1986, now abandoned
       Utility
DT
FS
       Granted
EXNAM
       Primary Examiner: Phillips, Delbert R.
LREP
       Richardson, Peter C., Lumb, J. Trevor, McManus, James M.
CLMN
       Number of Claims: 12
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 4343
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Polypeptides and derivatives thereof containing nor-statine and
AB
       nor-cyclostatine are useful for inhibiting the angiotensinogen-cleaving
       action of the enzyme renin.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 119601-46-6P
        (prepn. of, as intermediate for renin-inhibiting antihypertensive)
RN
     119601-46-6 USPATFULL
```

Carbamic acid, [1-(cyclohexylmethyl).-2-hydroxy-3-(methoxyamino)-3oxopropyl]-, 1,1-dimethylethyl ester, $[R-(R^*,S^*)]-(9CI)$ (CA INDEX

Absolute stereochemistry.

NAME)

CN

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FILE COVERS 1907 - 18 Jul 2002 VOL 137 ISS 3 FILE LAST UPDATED: 17 Jul 2002 (20020717/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s 160 L63 4 L60

=> s 163 and 11-121

FAN.CNT 5

1 L63 AND (L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21)

=> d bib abs hitstr retable

ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS 2002:31397 HCAPLUS ΑN DN 136:96075 ΤI Compounds to treat Alzheimer's disease IN Fang, Lawrence Y.; John, Varghese PA Elan Pharmaceuticals, Inc., USA PCT Int. Appl., 434 pp. SO CODEN: PIXXD2 DTPatent LA English

PATENT NO. KIND DATE APPLICATION NO. DATE ____ ----------PΙ WO 2002002506 A2 20020110 WO 2001-US20930 20010629 W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 2001073113 A5 20020114 AU 2001-73113 20010629 US 2002016320 **A1** 20020207 US 2001-896874 20010629 <--PRAI US 2000-215323P Р 20000630 WO 2001-US20930 W 20010629 os MARPAT 136:96075 The present invention is substituted amines of formula (XV) useful in AB treating Alzheimer's disease and other similar diseases. IT 388083-43-0 388083-44-1 388083-45-2 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compds. to treat Alzheimer's disease and other cognitive impairment disorders in relation to inhibition of .beta.-secretase and cleavage of amyloid precursor protein and combination with other agents) RN 388083-43-0 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-(2-methyl-2-phenylhydrazino)propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

RN 388083-44-1 HCAPLUS

CN Pentanoic acid, 4-methyl-, 2-[4-(3,5-difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]-1-methylhydrazide (9CI) (CA INDEX NAME)

$$(n-Pr)_{2}N-C \\ O \\ CH-CH_{2}-NH-N-C-CH_{2}-CH_{2}-CHMe_{2} \\ C-NH-CH-CH_{2} \\ F$$

RN 388083-45-2 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-(phenoxyamino)propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

GΙ

```
=> s 163 not 164
L65
             3 L63 NOT L64
=> d bib abs hitstr retable tot
     ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2002 ACS
AN
     2000:209900 HCAPLUS
DN
     132:237376
ΤI
     Preparation of hydroxamate-containing peptides as cysteine and serine
     protease inhibitors
IN
     Mallamo, John P.; Bihovsky, Ron; Josef, Kurt Allen
PA
     Cephalon, Inc., USA
SO
     PCT Int. Appl., 35 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                          APPLICATION NO. DATE
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     WO 2000016767
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PRAI US 1998-101414P
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                           19980922
     US 1999-398562
                      Α
                           19990917
    WO 1999-US21664
                      W
                           19990920
OS
    MARPAT 132:237376
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AB Hydroxamate-contg. peptides I [W is A-B-D; A is (un)substituted aryl(CH2)n, heteroaryl(CH2)n (n = 0-6), alkyl, alkenyl or cycloalkyl; B is a bond, CO, SO, SO2, OCO, NR5CO, NR5SO2, or NR5SO; D is a bond, an amino acid residue or a peptide; R1-R5 are H or (un)substituted alkyl or cycloalkyl] have been prepd. as inhibitors of cysteine and serine proteases. Thus, Cbz-Leu-Phe-CONHOMe (Cbz = benzyloxycarbonyl), prepd. by coupling of Cbz-Leu-OH with II.HCl, followed by amidation with H2NOMe.HCl and Dess-Martin oxidn., showed IC50 = 10 nM for inhibition of caplain activity.

IT 261786-33-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of hydroxamate contg. cysteine and serine protease inhibitors)

RN 261786-33-8 HCAPLUS

CN Carbamic acid, [(1S)-2-hydroxy-1-(methoxymethyl)-3-oxo-3[(phenylmethoxy)amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RETABLE

Referenced Author (RAU)	Year (RPY)	(RVL)	(RPG)	Ĺ	Referenced (RWK)		Referenced File
			r=====	+=:	========	======+	========
Harbeson, S	1994				Med Chem		HCAPLUS

L65 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2002 ACS

AN 1999:811207 HCAPLUS

DN 132:49801

TI Preparation of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compounds as inhibitors of HIV aspartyl protease.

```
Sherrill, Ronald George; Hale, Michael R.; Spaltenstein, Andrew; Furfine,
 IN
      Eric Steven; Andrews, Clarence Webster, III; Lowen, Gregory Thomas
 PΑ
      Vertex Pharmaceuticals Incorporated, USA
 SO
      PCT Int. Appl., 344 pp.
      CODEN: PIXXD2
 DT
      Patent
 LA
      English
 FAN.CNT 1
      PATENT NO.
                        KIND DATE
                                              APPLICATION NO.
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      WO 9965870
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                        Α2
                              19991223
                                              WO 1999-US13744 19990617
      WO 9965870
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                              20010315
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                                              NO 2000-6405
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PRAI US 1998-90094P
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     WO 1999-US13744
                        W
                              19990617
     MARPAT 132:49801
     ABxN(Gx)CHDCHOR7CH2ND'SO2E [A = H, (substituted) Ht, R1Ht, R1Ak; Ak =
     alkyl; Ht = cycloalkyl, cycloalkenyl, (substituted) aryl, heterocyclyl; R1
     = CO, SO2, COCO, O2C, NR2CO, NR2SO2, etc.; B = null, NR2C(R3)2CO; x = 0,
     1; R2 = H, (substituted) Ht, alkyl; R3 = H, (substituted) Ht, alkyl,
     alkenyl, cycloalkyl, cycloalkenyl; G = null, H, R7, alkyl; G may be bound
     to R7; D = (substituted) Q, alkyl, alkenyl; Q = (substituted) carbocyclyl,
     heterocyclyl; D' = OR10, N:R10, N(R10)R1R3; E = Ht, OHt, OR3, NR2R3,
     (substituted) alkyl, alkenyl, etc.; R7 = H, (CH2O)xY(ZM)(:X)Z(M)x, etc.; M
     = null, H, Li, Na, K, Mg, Ca, Ba, alkyl, alkenyl, etc.; X = O, S; Y = P,
     S; Z = O, S, N(R2)2, H], were prepd. as inhibitors of HIV aspartyl
     protease (no data). Thus, 3-H2NC6H4SO2NHOCHMe2 (prepn. given), tert-Bu
     N-(1S)-1-[(2S)-oxiran-2-y1]-2-phenylethylcarbamate, and phosphazene base
     P4 tert-Bu were stirred in 8 h in THF to give 95% tert-Bu
     N-(1S, 2R)-3-[[(3-aminophenyl)sulfonyl](isopropoxy)amino]-1-benzyl-2-
     hydroxypropylcarbamate.
ΙT
     252873-33-9
     RL: RCT (Reactant); RACT (Reactant or reagent)
         (prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-
        hydroxypropanes and related compds. as inhibitors of HIV aspartyl
        protease)
RN
     252873-33-9
                  HCAPLUS
CN
     Carbamic acid, [(1S,2R)-2-hydroxy-3-[(1-methylethoxy)amino]-1-
     (phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
```

IT 252872-89-2P 252872-98-3P 252873-22-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-

hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252872-89-2 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 252872-98-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1,1-dimethylethoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 252873-22-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L65 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2002 ACS

1989:154889 HCAPLUS AN

110:154889 DN

ΤI Preparation of norstatine- and norcyclostatine-containing peptides as renin inhibitors

IN Hoover, Dennis Jay; Wester, Ronald Thure; Rosati, Robert Louis

Pfizer Inc., USA PΑ

SO Eur. Pat. Appl., 86 pp.

CODEN: EPXXDW

DT		tent										
LA English FAN.CNT 1												
r AN.	PA		KIND	DATE	APPLICATION NO. DATE							
PI	ΕP	266950	A2		EP 1987-309461 19871	.027						
		266950	A3	19900411								
	EP	266950	B1	19931229								
	TM	172976	A	, ES, FR, GB, 19940115	GR, IT, LI, LU, NL, SE IN 1987-DE905 19871	015						
		87101499	A	19880511	CN 1987-101499 19871							
		1027271	В	19950104	CN 1907-101499 19871	023						
		4814342	A	19890321	US 1987-112976 19871	023						
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		262583	A5	19881207	DD 1987-308473 19871							
		8708158	A	19890628	ZA 1987-8158 19871							
		1706391	A3	19920115	SU 1987-4203604 19871							
		4935405	A	19900619	US 1988-277614 19881							
		5034376 175148	. A	19910723	US 1990-497041 19900							
		07173134	A	19950506	IN 1990-DE781 19900							
		07173134	A2 B4	19950711 19951122	JP 1994-221930 19940	ЭТР						
PRAT		1986-925449	A A	19861031								
- 1411		1987-68982	A	19870701								
		1987-DE905	A1	19871015								
		1987-112976	A3	19871023								

EP 1987-309461 A 19871027 US 1988-277614 A3 19881129 CASREACT 110:154889; MARPAT 110:154889

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AB The title peptides [I, II; Z = R1-Ym-Ap; R1 = C1-6 alkyl, C1-4 alkoxy, (un) substituted amino, morpholino, piperidyl, piperazino, (substituted) piperidino, thiomorpholino, pyridyl, etc; Y = CO, P(O)OMe, SO2; A = NMe, NH, O; m, p = 0, 1; M = Ph, PhCH2, naphthyl, thienyl, MeOC6H4, ClC6H4, HOC6H4, C6-7 cycloaklyl; X = Me, H; R2 = C1-5 alkyl, substituted C1-2 alkyl, PhCH2, guanidino-C1-3 alkyl, 4-aminobutyl, imidazol-4-ylmethyl, etc.; X = cyclohexyl, Me2CH, Ph; W = CHOH, CO, CHN3, CHNH2, CMeOH, etc.; Z1 = CH2OH, R-X1-T; R = CO; X1 = O, NH, NMe, CH2, bond; T = C1-5 alkyl, C1-4 hydroxyalkyl, C1-4 alkylcarbamoyl, H, trifluoroethyl, Ph, PhCH2, morpholino, etc.; L = CH, N; R5 = imidazol-4-ylmethyl, C2-5 alkyl; R6 = C1-4 alkoxy, C1-4 alkylamino; provided that when m = 0, P = 0; when A = 0, Y = C0; when T = C1-4alkylcaRbamoyl, X1 = NH, NMe, CH2; when T = C2-5 alkylamino, C1-2alkoxyamino, morpholino or 4-C1-2 alkylpiperazino, $X\bar{1}$ = CH2, bond], useful as antihypertensives (no data), were prepd. Treatment of (S)-3-(tert-butoxycarbonylamino)-4-cyclohexyl-(R)-2-hydroxybutyric acid with Me2CHCH2O2CCl in THF contg. Et3N and amidation of the resulting mixed anhydride with MeNH2 gave 42% N-methyl-3-(tert-butoxycarbonylamino)-4cyclohexyl-(R)-2-hydroxybutyramide (BOC-nor-C-Sta-NHMe). Deprotection of the latter with 4N HCl in dioxane, followed by peptide coupling with BOC-Phe-His(imBOC)-OH (BOC = CO2CMe3) in CH2Cl2 in the presence of Et3N, hydroxybenzotriazole, and DCC, gave BOC-Phe-His(imBOC)-nor-C-Sta-NHMe, which was treated with AcOH-H2O(80:20) to give BOC-Phe-His-nor-C-Sta-NHMe. ΙT 119601-46-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for renin-inhibiting antihypertensive) 119601-46-6 HCAPLUS

CN Carbamic acid, [1-(cyclohexylmethyl)-2-hydroxy-3-(methoxyamino)-3-oxopropyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

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=> fil reg FILE 'REGISTRY' ENTERED AT 08:58:54 ON 18 JUL 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 17 JUL 2002 HIGHEST RN 439210-99-8 DICTIONARY FILE UPDATES: 17 JUL 2002 HIGHEST RN 439210-99-8

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d sta que 155 L35 STR

$$\begin{array}{c} 5\\ \text{OH}\\ \\ |\\ \text{NH} \stackrel{\dots}{\dots} \text{CH} \stackrel{\dots}{\dots} \text{CH} \stackrel{\dots}{\dots} \text{NH} \stackrel{\wedge}{\dots} \text{G1}\\ 1 \quad 2 \quad 3 \quad 4 \quad 6 \quad 7 \end{array}$$

VAR G1=O/N NODE ATTRIBUTES: NSPEC IS RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

L37 516 SEA FILE=REGISTRY SSS FUL L35

L53

STR

O-∕^Ak∕^Cy S-√Ak√Cy N-√Ak√Cy $Ak \sim G4 \sim Cy$ 031 32 33 @20 19 2Ī @25 26 27 @28 29 3Ō

N~~O~Cy @36 35 34 $N \sim O \sim Ak$ N~~O~~S @39 38 37 014 11 12

VAR G1=AK/CY/17/20/23/25/28/31 VAR G2=7/14/36/39 VAR G3=C/S/CY VAR G4=O/N/S NODE ATTRIBUTES: NSPEC IS RC AT 4 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE 28 SEA FILE=REGISTRY SUB=L37 SSS FUL L53

28 ANSWERS 100.0% PROCESSED 516 ITERATIONS SEARCH TIME: 00.00.03

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L3175 S E3-E11, E16, E17, E19 L4

10 S L1, L2 AND L3

E US2001-896874/AP, PRN

3 S E3 L5

E BECK J/AU 177 S E3,E21 L6

60 S E35, E53, E54 L7E GAILUNAS A/AU

4 .S E4 ' L8 E HOM R/AU

12 S E6-E8 L9

E JAGODZINSKA B/AU

9 S E4-E6 L10

E MAILLAIRD M/AU

4 S E4 L11

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L12
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                E TENBRINK R/AU
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L15
                E FRESKOS J/AU
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L16
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               3 S L30 AND L1-L21
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L44
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L50
L51
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             5 S L45 NOT L51
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L64
              3 S L63 NOT L64
L65
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